

SEQUENCE LISTING

BJ
<110> Hornik, Vered

<120> CONFORMATIONALLY CONSTRAINED BACKBONE CYCLIZED SOMATO
STATIN ANALOGS

<130> 87534-3000

<140> 09/734,583

<141> 2000-12-13

<160> 10

<170> PatentIn version 3.1

<210> 1

<211> 14

<212> PRT

<213> mammalian

<400> 1

Ala	Gly	Cys	Lys	Asn	Phe	Phe	Trp	Lys	Thr	Phe	Thr	Ser	Cys
1				5					10				14 <i>un</i>

<210> 2

<211> 6

<212> PRT

<213> Artificial peptide

<220>

<221> DISULFIDE BRIDGE

<222> (1)..(1)

<223> Cys residues at amino acid positions and 6 form a dis
ulfide bridge
e

<220>

<221> MOD_RES

<222> (3)..(3)

<223> The Trp residue is the D isomer

Pf
cont.
<400> 2

Cys Phe Trp Lys Thr Cys
1 5

<210> 3
<211> 6
<212> PRT
<213> Artificial peptide

<220>
<221> MOD_RES
<222> (1)..(1)
<223> N-Methyl

<220>
<221> MOD_RES
<222> (1)..(6)
<223> cyclo

<220>
<221> MOD_RES
<222> (3)..(3)
<223> The Trp residue is the D isomer

<400> 3

Ala Tyr Trp Lys Val Phe
1 5

<210> 4
<211> 8
<212> PRT
<213> Artificial Peptide

<220>
<221> MOD_RES
<222> (1)..(1)
<223> The Phe residue is a D isomer

Bl
Cont.

<220>
<221> MOD_RES
<222> (8)..(8)
<223> The Thr residue ends with CH2OH

<220>
<221> DISULFIDE BRIDGE
<222> (2)..(2)
<223> A disulfide bridge is formed between Cys residues 2 and 7

<220>
<221> MOD_RES
<222> (2)..(2)
<223> The Trp residue is a D isomer

<400> 4

Phe Cys Phe Trp Lys Thr Cys Thr
1 5

<210> 5
<211> 7
<212> PRT
<213> Artificial Peptide

<220>
<221> DISULFIDE
<222> (2)..(2)
<223> A Disulfide Bridge is formed between the Cys residues at position 2 and 6

<220>
<221> MOD_RES
<222> (1)..(1)
<223> The Phe residue is a D isomer

<220>
<221> MOD_RES

Bl
Con

<222> (4)..(4)
<223> The Trp residue is a D isomer

<220>
<221> MOD_RES
<222> (7)..(7)
<223> The Thr residue ends with N2H

<400> 5

Phe Cys Phe Trp Lys Cys Thr
1 5

<210> 6
<211> 8
<212> PRT
<213> Artificial peptide

<220>
<221> MISC_FEATURE
<222> (1)..(1)
<223> is a gamma amino butyric acid, diamino butyric acid,
Gly, beta-Al
a, 5-amino pentanoic acid or amino hexanoic acid; Res
idue 1 is bi
rdged to Residue 8; Residue 1 also begins with a hydr
ogen, or a m
ono- or di- saccharide attached

<220>
<221> MISC_FEATURE
<222> (2)..(2)
<223> is (D) or (L) Phe or Tyr

<220>
<221> MISC_FEATURE
<222> (3)..(3)
<223> is (D) or (L)-Trp, or (L)-Phe, (D)- or (L)-1Nal or (D
) or (L)-2Na
l, or Tyr

B1
Cent

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<220>
<221> MISC_FEATURE
<222> (4)..(4)
<223> is (D) or (L)-Trp

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<220>
<221> MISC_FEATURE
<222> (5)..(5)
<223> is (D) or (L)-Lys

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<220>
<221> MISC_FEATURE
<222> (6)..(6)
<223> is Thr, Gly, Abu, Ser, Cys, Val, (D) or (L)-Ala, or (D)- or (L)-A
la, or Tyr

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<220>
<221> MISC_FEATURE
<222> (7)..(7)
<223> is (D) or (L)-Phe, or (D)- or (L)-Ala, Nle, or Cys;

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<220>
<221> MISC_FEATURE
<222> (8)..(8)
<223> is Gly, Val, Leu, (D) or (L)-Phe, or 1Nal or 2Nal; wi
th a termina
1 carboxy acid, amide or alcohol group.

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<400> 6

Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa
1 5

<210> 7
<211> 7
<212> PRT
<213> Artificial Peptide

<220>
<221> MISC_FEATURE
<222> (1)..(1)
<223> is (D)- or (L)-Phe, or (D)- or (L)-Ala; wherein Residue 1 is bridged to Residue 6 a bridging group composed of 1 to 5 methyl spacers connected to an amide, thioether, thioester, or disulfide, followed by 1 to 5 methyl spacers

<220>
<221> MISC_FEATURE
<222> (2)..(2)
<223> is Tyr or (D)- or (L)-Phe

<220>
<221> MISC_FEATURE
<222> (3)..(3)
<223> is (D)- or (L)-Trp, (D)- or (L)-1Nal, or (D)- or (L)-2Nal

<220>
<221> MISC_FEATURE
<222> (5)..(5)
<223> is Thr, Val, Ser, or Cys

<220>
<221> MISC_FEATURE
<222> (6)..(6)
<223> is Gly or (D)- or (L)-Phe

<220>
<221> MISC_FEATURE
<222> (7)..(7)
<223> is Thr, GABA, (D)- or (L)-1Nal, (D)- or (L)-2Nal, or (D)- or (L)-Phe

Xaa Xaa Xaa Lys Xaa Xaa Xaa
1 5

<210> 8
<211> 9
<212> PRT
<213> Artificial Peptide

<220>
<221> MISC_FEATURE
<222> (1)..(1)
<223> is absent or is a terminal group of one to four amino acids

<220>
<221> MISC_FEATURE
<222> (2)..(2)
<223> is 1Nal, 2Nal, Beta-Asp (Ind), Gly, Tyr, (D)- or (L)-Ala, or (D)- or (L)-Phe

<220>
<221> MISC_FEATURE
<222> (3)..(4)
<223> may be absent, or are independently Gly, Tyr, 1Nal, 2Nal, Beta-Asp (Ind), Gly, Tyr, (D)- or (L)-Ala, or (D)- or (L)-Phe

<220>
<221> MISC_FEATURE
<222> (5)..(5)
<223> (D)- or (L)-Trp

<220>
<221> MISC_FEATURE
<222> (6)..(6)
<223> (D)- or (L)-Lys

<220>
<221> MISC_FEATURE
<222> (7)..(7)
<223> is absent or is Gly, Abu, Cys, Thr, Val, (D)- or (L)-
Ala, or (D)
- or (L)-Phe

<220>
<221> MISC_FEATURE
<222> (8)..(8)
<223> is Cys, (D)- or (L)-Ala, or (D)- or (L)-Phe

<220>
<221> MISC_FEATURE
<222> (9)..(9)
<223> is absent or is Val, Thr, 1Nal or 2Nal

<400> 8

Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa
1 5

<210> 9
<211> 7
<212> PRT
<213> Artificial Peptide

<220>
<221> MISC_FEATURE
<222> (1)..(1)
<223> (D)- or (L)-Phe, Tyr or (D)- or (L)-Ala; Residue 1 is
connected to Residue 7 by a bridge comprised of 1 to 5 methylene
spacers connected to an amide, thioether, thioester, or disulfide,
followed by 1 to 5 methylene spacers

<220>
<221> MISC_FEATURE
<222> (2)..(2)

<223> (D) - or (L)-Phe, Tyr or (D) - or (L)-Ala;

<220>

<221> MISC_FEATURE

<222> (3)..(3)

<223> is absent or is (D) - or (L)-Phe, Tyr or (D) - or (L)-Ala;

<220>

<221> MISC_FEATURE

<222> (4)..(4)

<223> is (D) - or (L) Tyr

<220>

<221> MISC_FEATURE

<222> (5)..(5)

<223> is (D) - or (L) - Lys

<220>

<221> MISC_FEATURE

<222> (6)..(6)

<223> is absent or is Thr, Val, Cys or (D) - or (L) - Ala

<220>

<221> MISC_FEATURE

<222> (7)..(7)

<223> is a (D) - or (L)-Phe, Cys, or (D) - or (L) - Ala

<400> 9

Xaa Xaa Xaa Xaa Xaa Xaa Xaa

1 5

<210> 10

<211> 7

<212> PRT

<213> Artificial Peptide

<220>

<221> MISC_FEATURE
<222> (1)..(1)
<223> is absent or is (D)- or (L)-Phe or Ala; the bridge is connected to
o Residue 1 or 2 and Residue 6 or 7, wherein the bridge is comprised of 1 to 5 methylene spacers connected to an amide, thioether, thioester, or disulfide, followed by 1 to 5 methylene spacers

<220>
<221> MISC_FEATURE
<222> (2)..(2)
<223> is (D)- or (L)-Phe or Ala, Tyr

<220>
<221> MISC_FEATURE
<222> (3)..(3)
<223> is (D)- or (L)-Trp

<220>
<221> MISC_FEATURE
<222> (4)..(4)
<223> is (D)- or (L)-Lys

<220>
<221> MISC_FEATURE
<222> (5)..(5)
<223> is Thr, Ala, Val, or Cys

<220>
<221> MISC_FEATURE
<222> (6)..(6)
<223> is absent or is (D)- or (L)-Phe, Ala, or Cys

<220>
<221> MISC_FEATURE
<222> (7)..(7)
<223> is absent or is Thr or Thr reduced to an alcohol

<400> 10

Xaa Xaa Xaa Xaa Xaa Xaa
1 5

Bl
Cenzhukle